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FILE COVERS 1907 - 17 May 2007 VOL 146 ISS 22
FILE LAST UPDATED: 17 May 2007 (20070517/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s (azalide or azithromycin or homoerythromycin) and aminopropyl
240 AZALIDE
3399 AZITHROMYCIN
90 HOMOERYTHROMYCIN
16481 AMINOPROPYL
L1 6 (AZALIDE OR AZITHROMYCIN OR HOMOERYTHROMYCIN) AND AMINOPROPYL

=> d l1 1-6

L1 ANSWER 1 OF 6 CA COPYRIGHT 2007 ACS on STN
AN 145:249459 CA
TI Preparation of novel antimalarial 9a-carbamoyl-aminoalkyl and 9a-thiocarbamoyl-aminoalkyl azalides
IN Bukvic Krajacic, Mirjana; Kujundzic, Nedjeljko; Ivezic, Zrinka; Alihodzic, Sulejman; Hutinec, Antun; Fajdetic, Andrea
PA Glaxosmithkline Istrazivacki Centar Zagreb D.O.O., Croatia
SO PCT Int. Appl., 115pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006085228	A2	20060817	WO 2006-IB1227	20060113
	WO 2006085228	A3	20070104		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-644360P P 20050114

OS CASREACT 145:249459; MARPAT 145:249459

L1 ANSWER 2 OF 6 CA COPYRIGHT 2007 ACS on STN

AN 145:137808 CA

TI 9a-carbamoyl-y-aminopropyl- and 9a-thiocarbamoyl-y-amonopropyl-azalides with antimalarial activity

IN Ivezic, Zrinka; Alihodzic, Sulejman

PA Pliva-Istrazivacki Institut D.O.O, Croatia

SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006075256	A2	20060720	WO 2006-IB1140	20060112
	WO 2006075256	A3	20070104		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-644332P P 20050114

OS MARPAT 145:137808

L1 ANSWER 3 OF 6 CA COPYRIGHT 2007 ACS on STN

AN 144:254303 CA

TI Synthesis, characterization and in vitro antimicrobial activity of novel sulfonylureas of 15-membered azalides

AU Krajacic, Mirjana Bukvic; Kujundzic, Nedjeljko; Dumic, Miljenko; Cindric, Mario; Brajsa, Karmen; Metelko, Biserka; Novak, Predrag

CS PLIVA-Research and Development Ltd., Zagreb, HR-10000, Croatia

SO Journal of Antibiotics (2005), 58(6), 380-389
CODEN: JANTAJ; ISSN: 0021-8820

PB Japan Antibiotics Research Association

DT Journal

LA English

OS CASREACT 144:254303

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 4 OF 6 CA COPYRIGHT 2007 ACS on STN
AN 141:71789 CA
TI Preparation of carbamoyl derivatives of 9-deoxo-9-dihydro-9a-aza-9a-homoerythromycin A and 5-O-desosaminyl-9-deoxo-9-dihydro-9a-aza-9a-homoerythronolide A
IN Kujundzic, Nedjeljko; Bukvic, Krajacic Mirjana; Brajsa, Karmen
PA Pliva D.D., Croatia
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052904	A2	20040624	WO 2003-HR62	20031210
	WO 2004052904	A3	20040902		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2509599	A1	20040624	CA 2003-2509599	20031210
	AU 2003285599	A1	20040630	AU 2003-285599	20031210
	BR 2003016569	A	20051004	BR 2003-16569	20031210
	EP 1585753	A2	20051019	EP 2003-778598	20031210
	EP 1585753	B1	20060531		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1726222	A	20060125	CN 2003-80105762	20031210
	JP 2006510660	T	20060330	JP 2004-558858	20031210
	AT 327999	T	20060615	AT 2003-778598	20031210
	PT 1585753	T	20060831	PT 2003-778598	20031210
	IN 2005CN01551	A	20070427	IN 2005-CN1551	20050707
	US 2006252709	A1	20061109	US 2006-538376	20060414
PRAI	HR 2002-991	A	20021212		
	WO 2003-HR62	W	20031210		

OS MARPAT 141:71789

L1 ANSWER 5 OF 6 CA COPYRIGHT 2007 ACS on STN
AN 137:109163 CA
TI Preparation of conjugates of immune cell specific macrolide compounds with anti-inflammatory compounds for improved cellular targeting of anti-inflammatory therapy
IN Mercep, Mlanden; Mesic, Milan; Tomaskovic, Linda; Komac, Marijana; Hrvacic, Boska; Markovic, Stribor
PA Pliva D.D., Croatia
SO PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002055531	A1	20020718	WO 2002-HR1	20020103
	W: BA, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IN, IS, JP, KP, LT, LV, MK, PL, SI, SK, UA, US, UZ, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				

	HR 2001000018	A1	20021231	HR 2001-18	20010109
	CA 2434009	A1	20020718	CA 2002-2434009	20020103
	EE 200300293	A	20031015	EE 2003-293	20020103
	EP 1351973	A1	20031015	EP 2002-729470	20020103
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, MK, CY, TR				
	BR 2002006347	A	20040210	BR 2002-6347	20020103
	CN 1491230	A	20040421	CN 2002-804715	20020103
	JP 2004518670	T	20040624	JP 2002-556599	20020103
	HU 200500180	A2	20060928	HU 2005-180	20020103
	IN 2003CN01176	A	20050422	IN 2003-CN1176	20030730
	BG 108073	A	20050531	BG 2003-108073	20030807
	US 2004198677	A1	20041007	US 2003-250934	20031229
	HK 1065320	A1	20070404	HK 2004-108052	20041018
PRAI	HR 2001-18	A	20010109		
	WO 2002-HR1	W	20020103		

OS MARPAT 137:109163
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 6 OF 6 CA COPYRIGHT 2007 ACS on STN
AN 103:22875 CA
TI Antibacterial cyclic ethers of 9-deoxo-9a-aza-9a-homoerythromycin A and intermediates
PA Pfizer Inc., USA
SO U.S., 10 pp. Cont.-in-part of U.S. Ser. No. 497,473, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4492688	A	19850108	US 1983-555221	19831125
	EP 132026	A1	19850123	EP 1984-303295	19840516
	EP 132026	B1	19861230		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	JP 59225198	A	19841218	JP 1984-103547	19840522
	JP 01049356	B	19891024		
	DK 8402499	A	19841219	DK 1984-2499	19840522
	DK 158473	B	19900521		
	DK 158473	C	19901008		
PRAI	US 1983-497473	A2	19830523		
	US 1983-555221	A	19831125		

OS MARPAT 103:22875

=> d l1 1-6 an ab

L1 ANSWER 1 OF 6 CA COPYRIGHT 2007 ACS on STN
AN 145:249459 CA
AB Novel 9a-N'-substituted-carbamoyl- and thiocarbamoyl-aminoalkyl-9a-aza-9-deoxo- 9-dihydro-9a-homoerythromycin A and 3-O-decladinosyl-9a-aza-9-deoxo-9-dihydro- 9a-homoerythromycin A compds. I, wherein R is H, cladinosyl; R1 is H, β-cyanoethyl, βamidoethyl β-(alkoxycarbonyl)ethyl; R2 is substituted alkyl, substituted alkenyl, substituted aromatic carbocycle, substituted aromatic heterocycle, aryl; R3 is H, alkyl; X is O, S; n is 2 or 3; were prepared and tested as having antimalarial agents. More particularly, the invention relates to 9a-N'-substituted-carbamoyl- and thiocarbamoyl-β-aminoethyl- or -γ- aminopropyl-9a-aza-9-deoxo-9-dihydro-9a-homoerythromycin A and 3-O-decladinosyl-9a-aza-9-deoxo-9-dihydro-9a-homoerythromycin A compds. and to pharmaceutically acceptable derivs. thereof having antimalarial activity. Thus, 9-deoxo-9-dihydro-9a-(N'-isopropylcarbamoyl-β-aminoethyl)-9a-

aza-9a-homoerythromycin A (II) was prepared and tested in mice as antimalarial agent. In vivo malaria Rhesus presumptive causal prophylactic test was reported to determine if test compds. have activity against either the sporozoite and/or exo-erythrocytic (EE) stages of Plasmodium cynomolgi in Rhesus monkeys. II showed in comparison with azithromycin, tested against the parasite strains [TM911C235 (IC50 = 619.1 ng/mL), (IC50 of azithromycin = 1621.2 ng/mL) and W2 (IC50 = 1777.2 ng/mL), azithromycin = 1759.2 ng/mL] with different patterns of resistance.

L1 ANSWER 2 OF 6 CA COPYRIGHT 2007 ACS on STN
AN 145:137808 CA
AB 9A-Carbamoyl-γ-aiHinopropyl- and Pa-thiocarbamoyl-γ-aminopropyl-azalides and their pharmaceutically acceptable derivs. are useful for treatment and prevention of malaria.

L1 ANSWER 3 OF 6 CA COPYRIGHT 2007 ACS on STN
AN 144:254303 CA
AB Three series of the novel sulfonylurea derivs. of 15-membered azalides, i.e. 9a-N-[N'-(aryl)sulfonylcarbamoyl]-, 9a-N-{N'-[(aryl)sulfonylcarbamoyl-γ- aminopropyl]}- and 9a-N-{N'-(β-cyanoethyl)-N'-[(aryl)sulfonylcarabamoyl-γ- aminopropyl]} derivs. of 9-deoxo-9-dihydro-9a-aza-9a-homoerythromycin A and 5-O-desosaminyl-9-deoxo-9-dihydro-9a-aza-9a-homoerythronolide A were prepared, and their structures were elucidated by NMR, IR, and mass spectrometry. Minimal inhibitory concentration (MIC) of these compds. was determined on a panel of sensitive and resistant Gram-pos. and Gram-neg. bacterial strains. Several compds. of the series of 9a-N-[N'-(aryl)sulfonylcarbamoyl] derivs. that showed significant improvements in activity against inducible resistant Streptococcus pyogenes strain were suggested for further optimization.

L1 ANSWER 4 OF 6 CA COPYRIGHT 2007 ACS on STN
AN 141:71789 CA
AB The invention relates to N'-substituted 9a-N-(N'-carbamoyl-γ-aminopropyl), 9a-N-(N'-thiocarbamoyl-γ- aminopropyl), 9a-N-[N'-(β-cyanoethyl)-N'-carbamoyl-γ- aminopropyl] and 9a-N-[N'-(β-cyanoethyl)-N'-thiocarbamoyl-γ-aminopropyl] derivs. I [R = H, cladinosyl; R1 = H, β-cyanoethyl; R2 = iso-Pr, 1-naphthyl, 2-naphthyl, benzyl, 2-(trifluoromethyl)phenyl, 3-phenylpropyl, β-phenylethyl, (ethoxycarbonyl)methyl, 1-(1-naphthyl)ethyl, 3,4,5-trimethoxyphenyl, 2,4-dichlorophenyl; X = O, S] of 9-deoxo-9-dihydro-9a-aza-9a-homoerythromycin A and 5-O-desosaminyl-9-deoxo-9-dihydro-9a-aza-9a-homoerythronolide A , novel semisynthetic macrolide antibiotics of the azalide series and their acceptable addition salts with inorg. or organic acids, to the process for preparation of their pharmaceutical compns. as well as the use their compns. in the treatment of bacterial infections. Thus, 9a-N-(N'-isopropylcarbamoyl-γ- aminopropyl)-9-deoxo-9-dihydro-9a-aza-9a-homoerythromycin A (I; R1 = H, R2 = CHMe2) was prepared from 9a-N-(γ- aminopropyl)-9-deoxo-9-dihydro-9a-aza-9a-homoerythromycin A via carbamylation with iso-Pr isocyanate in PhMe. The antibacterial activity of I (R1 = H, R2 = CHMe2) was determined [MIC = 2.0 μg/mL vs. S. aureus (ATCC 13709); MIC = ≤ 0.12 μg/mL vs. S. pneumoniae; MIC = ≤ 01.2 μg/mL vs. S. pyogenes; MIC = 0.5 μg/mL vs. M. catarrhalis (ATCC 49247)].

L1 ANSWER 5 OF 6 CA COPYRIGHT 2007 ACS on STN
AN 137:109163 CA
AB Compds. of the general structure M-L-A [M = macrolide possessing the property of accumulation in inflammatory cells; A = steroid or nonsteroid

anti-inflammatory subunit; L = linking chain] were prepared for pharmaceutical use as immune cell specific anti-inflammatory agents for the treatment of inflammatory diseases in humans and animals. Thus, macrolide-steroid conjugate I was prepared via amidation reaction of (6α,11β,16α,17α)-9-chloro-6-fluoro-11,17-dihydroxy-16-methyl-3-oxoandrosta-1,4-diene-17-carboxylic acid with the corresponding N-demethyl-N-(3-aminopropyl)-azithromycin derivative The prepared macrolide conjugates were assayed for human glucocorticoid receptor binding, for steroid introduction into cells, for inhibition of mouse T-cell hybridoma 13 proliferation, and for inhibition of interleukin-2 production

L1 ANSWER 6 OF 6 CA COPYRIGHT 2007 ACS on STN
AN 103:22875 CA
AB Antibacterial (no data) title compds. I (n = 1, 2, or 3; wavy line at the 4''-OH group represents axial and equatorial configuration) were prepared Thus, 4''-epi-9-deoxo-9a-aza-9a-homoerythromycin A was refluxed with acrylonitrile for 19 h to give 4''-epi-9-deoxo-9a-(β-cyanoethyl)-9a-aza-9a-homoerythromycin A, which was hydrogenated over Raney Ni and the resultant 9a-(γ- aminopropyl) derivative was treated with isoamyl nitrite and AcOH in CHCl3 to give I (n = 3; 4''-axial OH) and 4''-epi-9-deoxo-9a-(γ-acetoxypropyl)-9a-aza-9a-homoerythromycin A, which were separated by chromatog. on formamide-impregnated silica gel.

=> d his

(FILE 'HOME' ENTERED AT 15:05:42 ON 24 MAY 2007)

FILE 'CA' ENTERED AT 15:06:03 ON 24 MAY 2007
L1 6 S (AZALIDE OR AZITHROMYCIN OR HOMOERYTHROMYCIN) AND AMINOPROPYL

Refine Search

Search Results -

Terms	Documents
homoerythromycin near20 aminopropyl	6

Database: US Pre-Grant Publication Full-Text Database; US Patents Full-Text Database; US OCR Full-Text Database; EPO Abstracts Database; JPO Abstracts Database; Derwent World Patents Index; IBM Technical Disclosure Bulletins

Search: L6

Refine Search | Recall Text | Clear | Interrupt

Search History

DATE: Thursday, May 24, 2007 **Purge Queries** Printable Copy Create Case

Set Name side by side	Query	Hit Count	Set Name result set
DB=USPT,USOC,EPAB,JPAB,DWPI,TDBD; PLUR=YES; OP=OR			
L6	homoerythromycin near20 aminopropyl	6	L6
L5	azithromycin near20 aminopropyl	1	L5
DB=USPT; PLUR=YES; OP=OR			
L4	azithromycin near20 isothiocyanate	0	L4
L3	azithromycin and isothiocyanate	86	L3
L2	aminopropyl near5 azithromycin	0	L2
L1	aminopropyl near5 azithromycin	0	L1

END OF SEARCH HISTORY